

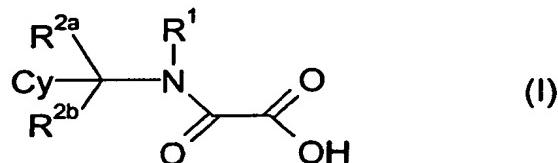
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DT15 Rec'd PCT/PTO 26 JUL 2004

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Claims

1. Substituted methylene amide derivative of Formula (I) :



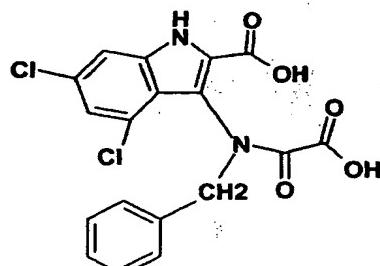
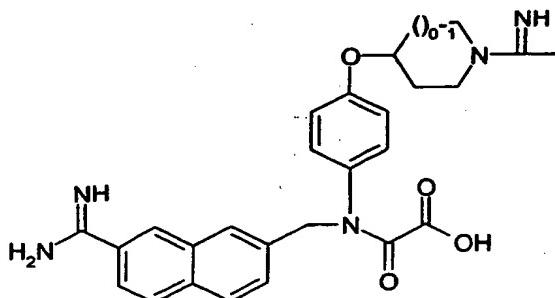
as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

$\text{R}^1$  is selected from the group consisting of ( $\text{C}_1$ - $\text{C}_{15}$ )alkyl, ( $\text{C}_2$ - $\text{C}_{12}$ )alkenyl, ( $\text{C}_2$ - $\text{C}_{12}$ )alkynyl, aryl, heteroaryl, (3-8-membered)-cycloalkyl or heterocycloalkyl, ( $\text{C}_1$ - $\text{C}_{12}$ )alkyl-aryl or ( $\text{C}_1$ - $\text{C}_{12}$ )alkyl-heteroaryl, ( $\text{C}_2$ - $\text{C}_{12}$ )alkenyl-aryl or -heteroaryl, ( $\text{C}_2$ - $\text{C}_{12}$ )alkynyl-aryl or -heteroaryl;

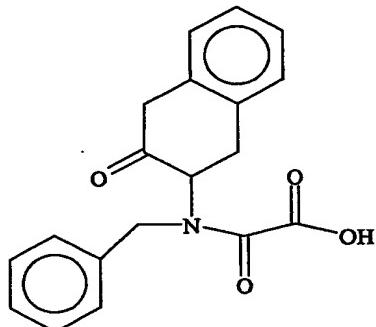
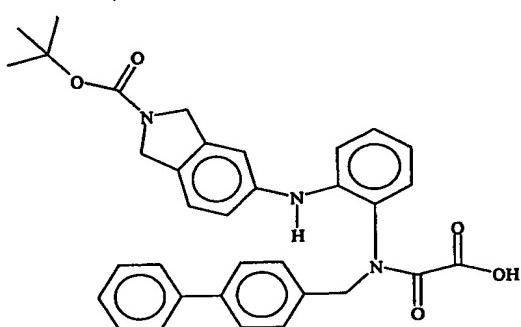
$\text{R}^{2a}$  and  $\text{R}^{2b}$  are each independently from each other selected from the group comprising or consisting of H or ( $\text{C}_1$ - $\text{C}_{12}$ )alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle group,

with the proviso that the following compounds are excluded :



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2. Substituted methylene amide derivatives according to claim 1, wherein R<sup>2a</sup> and R<sup>2b</sup> are each H.
3. A substituted methylene amide derivative according to claim 1 or 2, wherein Cy is a thienyl or a phenyl group.
4. A substituted methylene amide derivative according to claim 3, wherein Cy is a thienyl, phenyl being substituted by a phenyl or an oxadiazole group or by 1 or 2 moieties selected from the group consisting of -NH-CO-R<sup>3</sup>, -SO<sub>2</sub>-NR<sup>3</sup>R<sup>3'</sup>, or -CO-NR<sup>3</sup>R<sup>3'</sup> in which R<sup>3</sup>, R<sup>3'</sup> are independently selected from H, (C<sub>1</sub>-C<sub>15</sub>)alkyl, (C<sub>2</sub>-C<sub>12</sub>)alkenyl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, (C<sub>1</sub>-C<sub>12</sub>)alkyl aryl or heteroaryl, (C<sub>2</sub>-C<sub>12</sub>)alkenyl-aryl or -heteroaryl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl-aryl or -heteroaryl.
5. A substituted methylene amide derivative according to claim 4, wherein R<sup>3'</sup> is H and R<sup>3</sup> is selected from the group consisting of diphenyl-ethyl, dodecyl, octyl, 4-pentylbenzyl, 4-phenoxy-phenethyl, ethyl-thiophen-2-yl, pentadecyl, tridecyl, hexyloxy-phenyl or (2-ethyl)-hexyl.

6. A substituted methylene amide according to any of claim 1 or 2, wherein Cy is aryl, heteroaryl, (3-8-membered)-cycloalkyl or -heterocycloalkyl being substituted by a substituted or unsubstituted (C<sub>2</sub>-C<sub>18</sub>)alkynyl moiety.
7. A substituted methylene amide according to claim 6 wherein Cy is phenyl, pyridinyl, naphthyl or benzofuranyl group, being substituted by B-R<sup>4</sup> wherein B is ethynyl group and R<sup>4</sup> is (C<sub>6</sub>-C<sub>16</sub>)alkyl, (3-8 membered) cycloalkyl, (C<sub>1</sub>-C<sub>12</sub>)alkyl-(3-8 membered) cycloalkyl, phenyl or (C<sub>1</sub>-C<sub>12</sub>)alkyl phenyl.
8. A substituted methylene amide according to claim 7 wherein Cy is phenyl being substituted by B-R<sup>4</sup> wherein B is ethynyl group and R<sup>4</sup> is (C<sub>6</sub>-C<sub>16</sub>)alkyl.
9. A substituted methylene amide derivative according to any of claims 1 to 8, wherein R<sup>1</sup> is a moiety -CH<sub>2</sub>-A, or -CH<sub>2</sub>-CH<sub>2</sub>-A with A being an aryl, heteroaryl, (3-8-membered)heterocycloalkyl or (3-8-membered)cycloalkyl.
10. A substituted methylene amide derivative according to any of claims 1 to 8, wherein R<sup>1</sup> is A, with A being aryl, heteroaryl, (3-8-membered)heterocycloalkyl or (3-8-membered)cycloalkyl.
11. A substituted methylene amide derivative according to claim 9 or 10, wherein A is selected from the group consisting of phenyl, pyridinyl, benzo-1,3-dioxolenyl, biphenyl, naphthyl, quinoxaliny, thiazolyl, thienyl, furanyl or a piperidinyl group, being optionally substituted by 1 or 2 cyano, halogen, NO<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, aryloxy or heteroaryloxy, (C<sub>1</sub>-C<sub>6</sub>)thioalkoxy, (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>1</sub>-C<sub>12</sub>)alkyl-X wherein X is halogen, (C<sub>2</sub>-C<sub>12</sub>)alkenyl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl, aryl, heteroaryl, (3-8 membered) cycloalkyl or heterocycloalkyl, (C<sub>1</sub>-C<sub>12</sub>)alkyl aryl or heteroaryl, (C<sub>2</sub>-C<sub>12</sub>)alkenyl aryl or heteroaryl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl aryl or heteroaryl, -COR<sup>3</sup>, -COOR<sup>3</sup>, -CO-NR<sup>3</sup>R<sup>3'</sup>, -NHCOR<sup>3</sup> wherein R<sup>3</sup> is a (C<sub>1</sub>-C<sub>12</sub>)alkyl or (C<sub>1</sub>-C<sub>12</sub>)alkenyl, -SOR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3'</sup> with R<sup>3</sup>, R<sup>3'</sup> being independently from each other selected from the group

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consisting of H, straight or branched (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>2</sub>-C<sub>12</sub>)alkenyl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl, aryl, heteroaryl, (3-8-membered)-cycloalkyl or heterocycloalkyl.

12. A substituted methylene amide derivative according to any claims 1 to 5 and 9 to 11 wherein:

5 R<sup>2a</sup> and R<sup>2b</sup> are each H;

R<sup>1</sup> is—CH<sub>2</sub>-A, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, -NO<sub>2</sub>, trifluoromethyl;

10 Cy is a thienyl, phenyl or biphenyl being substituted by -SO<sub>2</sub>R<sup>3</sup>, -CO-NR<sup>3</sup>R<sup>3'</sup> in which R<sup>3'</sup> is H and R<sup>3</sup> is (C<sub>7</sub>-C<sub>12</sub>)alkyl, particularly (C<sub>8</sub>-C<sub>12</sub>)alkyl and more particularly a dodecyl group.

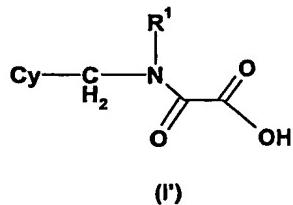
13. A substituted methylene amide derivative according to any claim 1 to 5 and 9 to 11 wherein:

R<sup>2a</sup> and R<sup>2b</sup> are each H;

15 R<sup>1</sup> is—CH<sub>2</sub>-A, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, -NO<sub>2</sub>, trifluoromethyl;

Cy is a thienyl, phenyl or biphenyl being substituted by -SO<sub>2</sub>R<sup>3</sup>, -CO-NR<sup>3</sup>R<sup>3'</sup> in which R<sup>3'</sup> is H and R<sup>3</sup> is (C<sub>7</sub>-C<sub>15</sub>)alkyl, particularly (C<sub>8</sub>-C<sub>15</sub>)alkyl and more particularly a dodecyl group.

- 20 14. Substituted methylene amide derivative of Formula (I') according to any of claims 1 to 5 or 9 to 11



wherein

R<sup>1</sup> is selected from the group consisting of phenyl, benzyl, phenethyl, 1-methylbenzyl which may be substituted by (C<sub>1</sub>-C<sub>6</sub>)alkyl group or a cycloalkyl group;

Cy is a phenyl or a biphenyl group substituted with a moiety selected from the group consisting of -NH-CO-R<sup>3</sup>, -CO-NH-R<sup>3</sup>, or an oxadiazole group substituted with R<sup>3</sup>, wherein R<sup>3</sup> is (C<sub>7</sub>-C<sub>15</sub>)alkyl, particularly (C<sub>8</sub>-C<sub>15</sub>)alkyl and more particularly a dodecyl group.

- 5        15. A substituted methylene amide derivative according to any of the preceding claims selected from the following group:

10        (benzyl{4-[(dodecylamino)carbonyl] benzyl}amino)(oxo)acetic acid

oxo{ {4-[(pentadecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]amino} acetic acid

(benzyl{4-[(pentadecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

(benzyl{4-[(tridecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

15        [benzyl(4-{[dodecyl(methyl)amino]carbonyl}benzyl)amino](oxo)acetic acid

{(4-{[dodecyl(methyl)amino]carbonyl}benzyl)[4-(trifluoromethyl)benzyl]amino} - (oxo)acetic acid

([1-(tert-butoxycarbonyl)-4-piperidinyl]{4-[(dodecylamino)carbonyl]benzyl}-amino)-(oxo)acetic acid

20        {{4-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

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{ {4-[ (dodecylamino) carbonyl ] benzyl } [ 3-( trifluoromethyl ) benzyl ] amino } ( oxo ) acetic acid

( {[ 1-( tert-butoxycarbonyl )-4-piperidinyl ] methyl } { 4-[ (dodecylamino) carbonyl ]-benzyl } amino ) ( oxo ) acetic acid

5 oxo { [ 4-( tridecanoylamino ) benzyl ] [ 4-( trifluoromethyl ) benzyl ] amino } acetic acid

[ benzyl ( 4-{ [ 4-( hexyloxy ) benzoyl ] amino } benzyl ) amino ] ( oxo ) acetic acid

oxo { [ 4-( trifluoromethyl ) benzyl ] [ 4-( 10-undecenoylamino ) benzyl ] amino } acetic acid

oxo { { 4-[ (9E)-9-tetradecenoylamino ] benzyl } [ 4-( trifluoromethyl ) benzyl ] amino } acetic acid

10 { benzyl [ 4-( tridecanoylamino ) benzyl ] amino } ( oxo ) acetic acid

{ { 4-[ (2-hydroxydodecyl ) amino ] benzyl } [ 4-( trifluoromethyl ) benzyl ] amino } - ( oxo )-acetic acid

oxo { [ 4-( trifluoromethyl ) benzyl ] [ 4-( 3-undecyl-1,2,4-oxadiazol-5-yl ) benzyl ] -amino } -acetic acid

15 { ( { 5-[ (dodecylamino)sulfonyl ]-2-thienyl } methyl ) [ 4-( trifluoromethyl ) benzyl ] amino } - ( oxo ) acetic acid

[ { 4-[ (dodecylamino)carbonyl ] benzyl } ( { 1-[ (4-methoxyphenyl)sulfonyl ]-4-piperidinyl } methyl ) amino ] ( oxo ) acetic acid

20 [ { 4-[ (dodecylamino)carbonyl ] benzyl } ( 2-carboxy-1-phenylethyl ) amino ] ( oxo ) acetic acid

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[{4-[(dodecylamino)carbonyl]benzyl}(2-methoxy-1-methylethyl)amino](oxo)acetic acid

(4-bromo{4-[(dodecylamino)carbonyl]benzyl}anilino)(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}anilino)(oxo)acetic acid

5 ([2-(3-chlorophenyl)ethyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}[2-(3-methoxyphenyl)ethyl]amino}(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}[(d,l)-trans-2-phenylcyclopropyl]amino}-  
10 (oxo)acetic acid

((d,l)-trans-2-(benzyloxy)cyclopentyl){4-[(dodecylamino)carbonyl]benzyl}-amino)-  
(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}-4-phenoxyanilino)(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(1,2,3,4-tetrahydro-1-naphthalenyl)amino]-  
15 (oxo)acetic acid

((1-benzyl-4-piperidinyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}[2-(4-phenoxyphenyl)ethyl]amino}(oxo)acetic acid

{4-[(dodecylamino)carbonyl]benzyl}[2-(2-phenoxyphenyl)ethyl]amino}(oxo)acetic  
20 acid

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((2-[1,1'-biphenyl]-4-ylethyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

(([1,1'-biphenyl]-3-ylmethyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

5 (3-(benzyloxy){4-[(dodecylamino)carbonyl]benzyl}anilino)(oxo)acetic acid

([4-(benzoylamino)benzyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

N-(carboxycarbonyl)-N-{4-[(dodecylamino)carbonyl]benzyl}-3-phenyl-beta-alanine

{ {4-[(dodecylamino)carbonyl]benzyl}[4-(1,2,3-thiadiazol-4-yl)benzyl]amino}-(  
10 oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-pentylbenzyl)amino](oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(1-phenylethyl)amino](oxo)acetic acid

{ {4-[(dodecylamino)carbonyl]benzyl}[1-(1-naphthyl)ethyl]amino} (oxo)acetic acid

(benzyl{3-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

15 { {3-[(dodecylamino)carbonyl]benzyl}[4-(methylsulfonyl)benzyl]amino} (oxo)acetic acid

((3-cyanobenzyl){3-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

{ {3-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]amino} (oxo)acetic acid

20 [(4-chlorobenzyl)(3-{[(4-pentylbenzyl)amino]carbonyl}benzyl)amino](oxo)acetic acid

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oxo{[4-({[2-(2-thienyl)ethyl]amino}carbonyl)benzyl][4-(trifluoromethyl)-benzyl]amino}acetic acid

{benzyl[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]-amino}(oxo)acetic acid

5 {[(3-cyanobenzyl)[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]amino}(oxo)acetic acid

{[(4-chlorobenzyl)[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]amino}(oxo)acetic acid

10 {[[(3'-{[(2,2-diphenylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl][4-(trifluoro-methyl)benzyl]amino}(oxo)acetic acid

{[(3-cyanobenzyl){[3'-( {[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)[1,1'-biphenyl]-4-yl]methyl}amino}(oxo)acetic acid

oxo{ {[3'-( {[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)[1,1'-biphenyl]-4-yl]methyl}-[4-(trifluoromethyl)benzyl]amino}acetic acid

15 {[{(3-cyanobenzyl)( {[3'-(octylamino)carbonyl][1,1'-biphenyl]-4-yl}methyl)amino]- (oxo)acetic acid

[{(4-chlorobenzyl)( {[3'-(octylamino)carbonyl][1,1'-biphenyl]-4-yl}methyl)amino]- (oxo)acetic acid

20 {[{(3'-(octylamino)carbonyl)[1,1'-biphenyl]-4-yl}methyl][4-(trifluoromethyl)-benzyl]amino}(oxo)acetic acid

{[(3-cyanobenzyl)[(3'-{[(3-phenylpropyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]amino}(oxo)acetic acid

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[(3-cyanobenzyl)({3'-(dodecylamino)carbonyl}[1,1'-biphenyl]-4-yl)methyl]-amino]-  
(oxo)acetic acid

[(4-chlorobenzyl)({3'-(dodecylamino)carbonyl}[1,1'-biphenyl]-4-yl)methyl]-amino]-  
(oxo)acetic acid

5 {{(3'-(dodecylamino)carbonyl)[1,1'-biphenyl]-4-yl)methyl}[4-(trifluoromethyl)-  
benzyl]amino}(oxo)acetic acid

{benzyl[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl]amino}-  
(oxo)acetic acid

10 {(3-cyanobenzyl)[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-  
methyl]amino}(oxo)acetic acid

{(4-chlorobenzyl)[(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-  
methyl]amino}(oxo)acetic acid

15 oxo{{(3'-{[(4-pentylbenzyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl}[4-(trifluoro-  
methyl)benzyl]amino} acetic acid

oxo{{(3'-{[(4-phenylbutyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl}[4-(trifluoro-  
methyl)benzyl]amino} acetic acid

20 {(3-cyanobenzyl)[(3'-{[(2-mesitylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-  
methyl]amino}(oxo)acetic acid

{(4-chlorobenzyl)[(3'-{[(2-mesitylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)-  
methyl]amino}(oxo)acetic acid

25 {[{(3'-{[(2-mesitylethyl)amino]carbonyl}[1,1'-biphenyl]-4-yl)methyl}[4-(trifluoro-  
methyl)benzyl]amino}(oxo)acetic acid

((4-chlorobenzyl){[3'-({{2-(4-methoxyphenyl)ethyl]amino}carbonyl}[1,1'-biphenyl]-4-yl]methyl}amino)(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-methoxybenzyl)amino](oxo)acetic acid

{ {4-[(dodecylamino)carbonyl]benzyl}[4-(methylsulfonyl)benzyl]amino}(oxo)acetic acid

5

[{3-[(dodecylamino)carbonyl]benzyl}(4-methoxybenzyl)amino](oxo)acetic acid

{ {3-[(dodecylamino)carbonyl]benzyl}[3-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

10

({4-[(dodecylamino)carbonyl]benzyl}{[6-(trifluoromethyl)-3-pyridinyl]methyl}-amino)(oxo)acetic acid

4-[((carboxycarbonyl){3-[(dodecylamino)carbonyl]benzyl}amino)methyl]benzoic acid

{ {3-[(dodecylamino)carbonyl]benzyl}[4-[hydroxy(oxido)amino]benzyl]-amino}(oxo)acetic acid

15

[{3-[(dodecylamino)carbonyl]benzyl}(2-fluorobenzyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(2-pyridinylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(3-thienylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(4-hydroxybenzyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(4-phenoxybenzyl)amino](oxo)acetic acid

20

({3-[(dodecylamino)carbonyl]benzyl}{[6-(trifluoromethyl)-3-pyridinyl]methyl}-amino)(oxo)acetic acid

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3-[((carboxycarbonyl){3-[(dodecylamino)carbonyl]benzyl}amino)methyl]benzoic acid

5-[((carboxycarbonyl){3-[(dodecylamino)carbonyl]benzyl}amino)methyl]-2-thiophenecarboxylic acid

5 {4-[(dodecylamino)carbonyl]benzyl}{4-[hydroxy(oxido)amino]-benzyl}-amino)-(oxo)acetic acid

((1,3-benzodioxol-5-ylmethyl){4-[(dodecylamino)carbonyl]-benzyl}amino)-(oxo)-acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(2-fluorobenzyl)amino](oxo)acetic acid

10 [{4-[(dodecylamino)carbonyl]benzyl}(4-phenoxybenzyl)amino](oxo)acetic acid

4-[((carboxycarbonyl){4-[(dodecylamino)carbonyl]benzyl}amino)methyl]benzoic acid

5-[((carboxycarbonyl){4-[(dodecylamino)carbonyl]benzyl}amino)methyl]-2-thiophenecarboxylic acid

15 [{3-[(dodecylamino)carbonyl]benzyl}(2-thienylmethyl)amino](oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(isopropyl)amino](oxo)acetic acid

((3,5-dichlorobenzyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

[(3,5-dichlorobenzyl)(4-{[(3,3-diphenylpropyl)amino]carbonyl}-benzyl)amino]-(oxo)acetic acid

20 [(4-{{[(2-[1,1'-biphenyl]-4-yethyl)amino]carbonyl}benzyl}(3,5-dichlorobenzyl)-amino](oxo)acetic acid

[(1,3-benzodioxol-5-ylmethyl)(4-{[(2-[1,1'-biphenyl]-4-ylethyl)amino]carbonyl}-benzyl)amino](oxo)acetic acid

(2,3-dihydro-1H-inden-1-yl{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

5 {2,3-dihydro-1H-inden-1-yl[4-{[[2-(4-phenoxyphenyl)ethyl]amino}-carbonyl]-benzyl]amino}(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(4-pyridinylmethyl)amino](oxo)acetic acid

([4-(dimethylamino)benzyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

10 [{4-[(dodecylamino)carbonyl]benzyl}(3-pyridinylmethyl)amino](oxo)acetic acid

((4-cyanobenzyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

[{4-[(dodecylamino)carbonyl]benzyl}(1,3-thiazol-2-ylmethyl)amino](oxo)acetic acid

({4-[(dodecylamino)carbonyl]benzyl}{[2-(4-morpholinyl)-1,3-thiazol-5-yl]methyl}-amino)(oxo)acetic acid

15 [{3-[(dodecylamino)carbonyl]benzyl}(4-pyridinylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(3-pyridinylmethyl)amino](oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(3-hydroxybenzyl)amino](oxo)acetic acid

((4-cyanobenzyl){3-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

[{3-[(dodecylamino)carbonyl]benzyl}(1,3-thiazol-2-ylmethyl)amino](oxo)acetic acid

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({3-[{(dodecylamino)carbonyl]benzyl} {[2-(4-morpholinyl)-1,3-thiazol-5-yl]methyl}-  
amino)(oxo)acetic acid

((1,3-benzodioxol-5-ylmethyl){3-[{(dodecylamino)carbonyl]-benzyl} amino)-  
(oxo)acetic acid

5 [ {4-[{(dodecylamino)carbonyl]benzyl}(2-thienylmethyl)amino](oxo)acetic acid

[ {4-[{(dodecylamino)carbonyl]benzyl}(2-pyridinylmethyl)amino](oxo)acetic acid

[ {4-[{(dodecylamino)carbonyl]benzyl}(3-thienylmethyl)amino](oxo)acetic acid

[ {4-[{(dodecylamino)carbonyl]benzyl}(4-hydroxybenzyl)amino](oxo)acetic acid

10 3-[{(carboxycarbonyl){4-[{(dodecylamino)carbonyl]benzyl} amino)methyl]benzoic  
acid

[cyclopentyl({5-[{(dodecylamino)sulfonyl]-2-thienyl} methyl)amino](oxo)acetic acid

[benzyl({5-[{(dodecylamino)sulfonyl]-2-thienyl} methyl)amino](oxo)acetic acid

(({5-[{(dodecylamino)sulfonyl]-2-thienyl} methyl}{3-[hydroxy(oxido)amino]-benzyl}-  
amino)(oxo)acetic acid

15 [(({5-[{(dodecylamino)sulfonyl]-2-thienyl} methyl)(4-methoxybenzyl)amino]-(oxo)-  
acetic acid

[(({5-[{(dodecylamino)sulfonyl]-2-thienyl} methyl)(2-fluorobenzyl)amino](oxo)acetic  
acid

20 {{({5-[{(dodecylamino)sulfonyl]-2-thienyl} methyl)[4-(methylsulfonyl)-benzyl]-  
amino}(oxo)acetic acid

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[({5-[{(dodecylamino)sulfonyl]-2-thienyl}methyl](4-phenoxybenzyl)amino]-(oxo)-acetic acid

4-{[(carboxycarbonyl)({5-[{(dodecylamino)sulfonyl]-2-thienyl}methyl)-amino]-methyl}benzoic acid

5 ({5-[{(dodecylamino)sulfonyl]-2-thienyl}methyl}{[6-(trifluoromethyl)-3-pyridinyl]-methyl}amino)(oxo)acetic acid

{({5-[{(dodecylamino)sulfonyl]-2-thienyl}methyl}[3-(trifluoromethyl)benzyl]amino]-(oxo)acetic acid

10 [(3-chlorobenzyl)({5-[{(dodecylamino)sulfonyl]-2-thienyl}methyl)amino](oxo)acetic acid

{[(5-{[(3,3-diphenylpropyl)amino]sulfonyl}-2-thienyl)methyl][3-(trifluoromethyl)-benzyl]amino}(oxo)acetic acid

{(3-chlorobenzyl)[(5-{[(3,3-diphenylpropyl)amino]sulfonyl}-2-thienyl)methyl]-amino}(oxo)acetic acid

15 oxo{[{5-({[2-(4-phenoxyphenyl)ethyl]amino}sulfonyl)-2-thienyl}methyl][3-(trifluoromethyl)benzyl]amino}acetic acid

{(3-chlorobenzyl){[5-({[2-(4-phenoxyphenyl)ethyl]amino}sulfonyl)-2-thienyl]-methyl}amino}(oxo)acetic acid

20 {[({5-{{[2-[1,1'-biphenyl]-4-ylethyl]amino]sulfonyl}-2-thienyl)methyl][3-(trifluoro-methyl)benzyl]amino}(oxo)acetic acid

{({1-[(cyclohexylamino)carbonyl]-4-piperidinyl}methyl){4-[(dodecylamino)-carbonyl]benzyl}amino}(oxo)acetic acid

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([(1-{[4-(dimethylamino)anilino]carbonyl}-4-piperidinyl)methyl]{4-[{(dodecyl-  
amino)carbonyl]benzyl} amino](oxo)acetic acid

{ {4-[{(dodecylamino)carbonyl]benzyl}{[(1-hexanoyl-4-piperidinyl)methyl]-amino}-  
(oxo)acetic acid

5 { {4-[{(dodecylamino)carbonyl]benzyl}{[1-(3-iodobenzoyl)-4-piperidinyl]methyl}-  
amino)(oxo)acetic acid

{ {4-[{(dodecylamino)carbonyl]benzyl}{[(1-{(2E)-3-[3-(trifluoromethyl)phenyl]-2-  
propenoyl}-4-piperidinyl)methyl]amino}(oxo)acetic acid

10 { {4-[{(dodecylamino)carbonyl]benzyl}{[1-(2-quinoxalinylcarbonyl)-4-piperidinyl]-  
methyl}amino)(oxo)acetic acid

[{1-[(4-methoxyphenyl)sulfonyl]-4-piperidinyl} methyl](4-{[(4-  
phenoxybenzyl)amino]carbonyl} benzyl)amino](oxo)acetic acid

15 [{[1-(3-iodobenzoyl)-4-piperidinyl]methyl}(4-{[(4-phenoxybenzyl)amino]-  
carbonyl} benzyl)amino](oxo)acetic acid

oxo{(4-{[(4-phenoxybenzyl)amino]carbonyl} benzyl){[(1-{(2E)-3-[3-  
(trifluoromethyl)phenyl]-2-propenoyl}-4-piperidinyl)methyl]amino}} acetic acid

{ {4-[{(dodecylamino)carbonyl]phenyl}{2-(methoxycarbonyl)benzyl}-  
amino}(oxo)acetic acid

20 [[4-{[{2-(1,1'-biphenyl-4-yl)ethyl}amino]carbonyl}-2-bromobenzyl](4-iodobenzyl)-  
amino](oxo)acetic acid

[(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl} benzyl)(4-iodobenzyl)amino]-  
(oxo)acetic acid

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[{2-bromo-4-[{(dodecylamino)carbonyl]benzyl}(4-iodobenzyl)amino](oxo)acetic acid

[(2,6-dibromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)(4-iodobenzyl)amino]-  
(oxo)acetic acid

5 ((4-iodobenzyl){[4'-({{2-(4-phenoxyphenyl)ethyl}amino}carbonyl)-1,1'-biphenyl-4-  
yl]methyl}amino)(oxo)acetic acid

{[2-bromo-4-{[(2-(4-phenoxyphenyl)ethyl)amino}carbonyl]benzyl}{(4'-fluoro-1,1'-  
biphenyl-3-yl)methyl}amino}(oxo)acetic acid

10 {[4-{[(2-(1,1'-biphenyl-4-yl)ethyl)amino}carbonyl)-2-bromobenzyl]{(4'-fluoro-1,1'-  
biphenyl-3-yl)methyl}amino}(oxo)acetic acid

{(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl){(4'-fluoro-1,1'-biphenyl-3-  
yl)methyl}amino}(oxo)acetic acid

15 {[2,6-dibromo-4-{[(2-(4-phenoxyphenyl)ethyl)amino]carbonyl]benzyl}{(4'-fluoro-  
1,1'-biphenyl-3-yl)methyl}amino}(oxo)acetic acid

{[4-{[(2-(1,1'-biphenyl-4-yl)ethyl)amino}carbonyl)-2,6-dibromobenzyl]{(4'-fluoro-  
1,1'-biphenyl-3-yl)methyl}amino}(oxo)acetic acid

20 {[2,6-dibromo-4-[{(dodecylamino)carbonyl]benzyl}{(4'-fluoro-1,1'-biphenyl-3-  
yl)methyl}amino}(oxo)acetic acid

{[(4'-fluoro-1,1'-biphenyl-3-yl)methyl}{[4'-({{2-(4-phenoxyphenyl)ethyl}amino}-  
carbonyl)-1,1'-biphenyl-4-yl]methyl}amino}(oxo)acetic acid

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{({4'-(dodecylamino)carbonyl}-1,1'-biphenyl-4-yl)methyl}[({4'-fluoro-1,1'-biphenyl-3-yl)methyl}amino](oxo)acetic acid

{(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)[2-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

5 {({2,6-dibromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)[2-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

oxo{[{4'-( {[2-(4-phenoxyphenyl)ethyl]amino} carbonyl)-1,1'-biphenyl-4-yl)methyl]-[2-(trifluoromethoxy)benzyl]amino}acetic acid

10 {({4'-(dodecylamino)carbonyl}-1,1'-biphenyl-4-yl)methyl}[2-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

[{2-bromo-4-{[(2-(4-phenoxyphenyl)ethyl)amino]carbonyl}benzyl](3-phenoxybenzyl)amino}(oxo)acetic acid

[[4-{[(2-(1,1'-biphenyl-4-yl)ethyl)amino]carbonyl}-2-bromobenzyl](3-phenoxybenzyl)amino](oxo)acetic acid

15 [(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)(3-phenoxybenzyl)-amino](oxo)acetic acid

[[2,6-dibromo-4-{[(2-(4-phenoxyphenyl)ethyl)amino]carbonyl}benzyl](3-phenoxybenzyl)amino](oxo)acetic acid

20 [[4-{[(2-(1,1'-biphenyl-4-yl)ethyl)amino]carbonyl}-2,6-dibromobenzyl](3-phenoxybenzyl)amino](oxo)acetic acid

[(2,6-dibromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)(3-phenoxybenzyl)-amino](oxo)acetic acid

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[{2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}(3-phenoxybenzyl)amino](oxo)-acetic acid

5 oxo((3-phenoxybenzyl){[4'-( {[2-(4-phenoxyphenyl)ethyl]amino} carbonyl)-1,1'-biphenyl-4-yl]methyl} amino)acetic acid

oxo[[{(4'-{[(4-pentylbenzyl)amino]carbonyl}-1,1'-biphenyl-4-yl)methyl](3-phenoxybenzyl)amino]acetic acid

10 [( {4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl} methyl)(3-phenoxybenzyl)-amino](oxo)acetic acid

[[2-bromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl](2-iodobenzyl)-amino](oxo)acetic acid

15 [[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl](2-iodobenzyl)-amino](oxo)acetic acid

[(2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)(2-iodobenzyl)amino]- (oxo)acetic acid

15 [{2-bromo-4-[(dodecylamino)carbonyl]benzyl}(2-iodobenzyl)amino](oxo)acetic acid

([2-bromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl]{[2'-(trifluoro-methyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

15 {[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl]{[2'-(trifluoro-methyl)-1,1'-biphenyl-4-yl]methyl}amino}(oxo)acetic acid

20 ((2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

((2-bromo-4-{{[(4-pentylbenzyl)amino]carbonyl}benzyl}{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

({2-bromo-4-[(dodecylamino)carbonyl]benzyl}{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

5 ([4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl]{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

((2,6-dibromo-4-{{[(4-pentylbenzyl)amino]carbonyl}benzyl}{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

10 ({2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}{[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

(({4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl}methyl){[2'-(trifluoromethyl)-1,1'-biphenyl-4-yl]methyl}amino)(oxo)acetic acid

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2-bromobenzyl](1,1'-biphenyl-2-ylmethyl)amino](oxo)acetic acid

15 [(1,1'-biphenyl-2-ylmethyl)(2-bromo-4-{{[(4-pentylbenzyl)amino]carbonyl}benzyl}-amino](oxo)acetic acid

((1,1'-biphenyl-2-ylmethyl){2-bromo-4-[(dodecylamino)carbonyl]benzyl}-amino)-(oxo)acetic acid

20 {{(1,1'-biphenyl-2-ylmethyl)[2,6-dibromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}-carbonyl]benzyl}amino}(oxo)acetic acid

[[4-({[2-(1,1'-biphenyl-4-yl)ethyl]amino}carbonyl)-2,6-dibromobenzyl](1,1'-biphenyl-2-ylmethyl)amino](oxo)acetic acid

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[(1,1'-biphenyl-2-ylmethyl)(2,6-dibromo-4-{[(4-pentylbenzyl)amino]carbonyl}-benzyl)amino](oxo)acetic acid

((1,1'-biphenyl-2-ylmethyl){2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}-amino)(oxo)acetic acid

5 { (2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)[4-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{ {2-bromo-4-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethoxy)benzyl]amino}-(oxo)acetic acid

10 { (2,6-dibromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)[4-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{ (2-bromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)[3-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{ {2-bromo-4-[(dodecylamino)carbonyl]benzyl}[3-(trifluoromethoxy)benzyl]amino}-(oxo)acetic acid

15 { (2,6-dibromo-4-{[(4-pentylbenzyl)amino]carbonyl}benzyl)[3-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

{ {2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}[3-(trifluoromethoxy)benzyl]-amino}(oxo)acetic acid

20 {{4'-[(dodecylamino)carbonyl]-1,1'-biphenyl-4-yl}methyl}[3-(trifluoromethoxy)-benzyl]amino}(oxo)acetic acid

[[2-bromo-4-({[2-(4-phenoxyphenyl)ethyl]amino}carbonyl)benzyl](4-phenoxy-benzyl)amino](oxo)acetic acid

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[[4-({{2-(1,1'-biphenyl-4-yl)ethyl}amino}carbonyl)-2-bromobenzyl](4-phenoxybenzyl)amino](oxo)acetic acid

[(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)(4-phenoxybenzyl)-amino](oxo)acetic acid

5 [{{2-bromo-4-[(dodecylamino)carbonyl]benzyl}(4-phenoxybenzyl)amino}(oxo)acetic acid

[[4-({{2-(1,1'-biphenyl-4-yl)ethyl}amino}carbonyl)-2,6-dibromobenzyl](4-phenoxybenzyl)amino](oxo)acetic acid

10 [(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)(4-phenoxybenzyl)-amino](oxo)acetic acid

{[4-({{2-(1,1'-biphenyl-4-yl)ethyl}amino}carbonyl)-2-bromobenzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

{(2-bromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[4-(trifluoromethyl)benzyl]-amino}(oxo)acetic acid

15 {{2-bromo-4-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]amino}-{(oxo)acetic acid}

{(2,6-dibromo-4-{{(4-pentylbenzyl)amino}carbonyl}benzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid

20 {{2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl}[4-(trifluoromethyl)benzyl]-amino}(oxo)acetic acid

oxo{{(4'-{{(4-pentylbenzyl)amino}carbonyl}-1,1'-biphenyl-4-yl)methyl}[4-(trifluoromethyl)benzyl]amino}acetic acid

{ {2-bromo-4-[(dodecylamino)carbonyl]benzyl} [3-(trifluoromethyl)benzyl]-amino } (oxo)acetic acid

{ {2,6-dibromo-4-[(dodecylamino)carbonyl]benzyl} [3-(trifluoromethyl)benzyl]-amino } (oxo)acetic acid

5 oxo{ [(4'-{[(4-pentylbenzyl)amino]carbonyl}-1,1'-biphenyl-4-yl)methyl][3-(trifluoromethyl)benzyl]amino } acetic acid

{(4-dibenzo[b,d]furan-4-ylbenzyl)[4-(trifluoromethyl)benzyl]amino } (oxo)acetic acid,  
{(4-dibenzo[b,d]furan-4-ylbenzyl)[4-(trifluoromethyl)benzyl]amino } (oxo)acetic acid,  
N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

10 {(4-[(dodecylamino)carbonyl]benzyl} {1-[4-(trifluoromethyl)phenyl]ethyl} amino)-  
(oxo)acetic acid

{(4-[(dodecylamino)carbonyl]benzyl} {1-[4-(trifluoromethyl)phenyl]ethyl} amino)-  
(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

15 {{(4'-[(octylamino)carbonyl]-1,1'-biphenyl-4-yl)methyl}[4-(trifluoromethyl)benzyl]-  
amino } (oxo)acetic acid

oxo{ (4-tetradec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino } acetic acid

{(4-dodec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino } (oxo)acetic acid

{ {4-[(dodecylamino)carbonyl]benzyl} [4-(trifluoromethyl)phenyl]amino } (oxo)acetic  
acid

20 [{4-[(dodecylamino)carbonyl]benzyl}(2-methoxyphenyl)amino](oxo)acetic acid

((1,2-diphenylethyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

N-(carboxycarbonyl)-N-{4-[(dodecylamino)carbonyl]benzyl}-L-phenylalanine  
[{4-[(dodecylamino)carbonyl]benzyl}(3-phenoxyphenyl)amino](oxo)acetic acid  
[{4-[(dodecylamino)carbonyl]benzyl}(2-isopropoxyphenyl)amino](oxo)acetic acid  
[{4-[(dodecylamino)carbonyl]benzyl}(4-iodophenyl)amino](oxo)acetic acid  
5      {{4-[(dodecylamino)carbonyl]benzyl}[3-fluoro-4-(trifluoromethyl)benzyl]-  
amino}(oxo)acetic acid  
((3-chloro-2-methylphenyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic  
acid  
4'-(carboxycarbonyl){4-[(dodecylamino)carbonyl]benzyl}amino)-1,1'-biphenyl-2-  
10     carboxylic acid  
((2,4-dichlorobenzyl){4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid  
[{4-[(dodecylamino)carbonyl]benzyl}(1-phenylpropyl)amino](oxo)acetic acid  
([2-(4-chlorophenyl)propyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic  
acid  
15     [{4-[(dodecylamino)carbonyl]benzyl}(4-isopropoxyphenyl)amino](oxo)acetic acid  
([4-(benzyloxy)phenyl]{4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid  
{{4-[(dodecylamino)carbonyl]benzyl}[2-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid  
[{4-[(dodecylamino)carbonyl]benzyl}(2-methoxybenzyl)amino](oxo)acetic acid

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([(1R)-1-(4-chlorophenyl)ethyl] {4-[(dodecylamino)carbonyl]benzyl}amino)-(oxo)acetic acid

((3,4-dichlorobenzyl) {4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

((1-benzothien-3-ylmethyl) {4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic  
acid

5

([2-(2,6-dichlorophenyl)ethyl] {4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic  
acid

({4-[(dodecylamino)carbonyl]benzyl} {2-[3-(trifluoromethyl)phenyl]ethyl}-amino)-(oxo)acetic  
acid

10

{ {4-[(dodecylamino)carbonyl]benzyl} [2-(3-fluorophenyl)ethyl]amino}(oxo)acetic  
acid

([(1S)-1-(4-chlorophenyl)ethyl] {4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)-  
acetic acid

{ {4-[(dodecylamino)carbonyl]benzyl} [(1S)-1-phenylethyl]amino}(oxo)acetic acid

15

{ {4-[(dodecylamino)carbonyl]benzyl} [(1R)-1-phenylethyl]amino}(oxo)acetic acid

([3-(benzyloxy)phenyl] {4-[(dodecylamino)carbonyl]benzyl}amino)(oxo)acetic acid

N-(carboxycarbonyl)-N-{4-[(dodecylamino)carbonyl]benzyl}-D-phenylalanine

{ {4-[(dodecylamino)carbonyl]phenyl} [4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid

20

{ {4-[(dodecylamino)carbonyl]phenyl} [4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

oxo{ {1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid

oxo{ {1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

[(2-butyl-1-benzofuran-3-yl)methyl]{4-[(dodecylamino)carbonyl]benzyl}-amino)(oxo)acetic acid;

{(1-{4-[(dodecylamino)carbonyl]phenyl}ethyl)[4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

{(1-{4-[(dodecylamino)carbonyl]phenyl}ethyl)[4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt; {(4-{[(4-octylphenyl)amino]carbonyl}benzyl)[4-(trifluoromethyl)benzyl]-amino} (oxo)acetic acid;

{(3-chlorobenzyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino} (oxo)acetic acid;

{(3-chlorobenzyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino} (oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{ {cyclopentyl[4-(trifluoromethyl)phenyl]methyl}[4-(tridecanoylamino)benzyl]-amino} (oxo)acetic acid;

oxo([4-(trifluoromethyl)benzyl]{[4-(3-undecyl-1,2,4-oxadiazol-5-yl)-1-naphthyl]-methyl}amino)acetic acid;

oxo([4-(trifluoromethyl)benzyl]{[4-(3-undecyl-1,2,4-oxadiazol-5-yl)-1-naphthyl]-methyl}amino)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)-

glucitol) salt;

{ {cyclopentyl[4-(trifluoromethyl)phenyl]methyl} [4-(3-undecyl-1,2,4-oxadiazol-5-

yl)benzyl]amino} (oxo)acetic acid;

{ {cyclopentyl[4-(trifluoromethyl)phenyl]methyl} [4-(3-undecyl-1,2,4-oxadiazol-5-

5        yl)benzyl]amino} (oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methyl-

amino)glucitol) salt;

{(4-dibenzo[b,d]furan-4-ylphenyl)[4-(trifluoromethyl)benzyl]amino} (oxo)acetic acid;

{(4-dibenzo[b,d]furan-4-ylphenyl)[4-(trifluoromethyl)benzyl]amino} (oxo)acetic acid,

N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

10      {[4-(octyloxy)benzyl][4-(trifluoromethyl)benzyl]amino} (oxo)acetic acid;

{[4-(octyloxy)benzyl][4-(trifluoromethyl)benzyl]amino} (oxo)acetic acid, N-methyl-

D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

[[2-(3-chlorophenyl)ethyl](4-dec-1-ynylbenzyl)amino] (oxo)acetic acid;

([2-(3-chlorophenyl)ethyl]{4-[(1Z)-dec-1-enyl]benzyl} amino) (oxo)acetic acid;

15      {[2-(3-chlorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino} (oxo)-

acetic acid;

{[2-(3-chlorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino} (oxo)-

acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{ {(1R)-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-

20      yl)benzyl]amino} acetic acid;

oxo{ {(1R)-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-

yl)benzyl]amino}acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)-glucitol) salt;

oxo{[4-(trifluoromethyl)phenyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-acetic acid;

5 oxo{[4-(trifluoromethyl)phenyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt; oxo{[(1S)-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-

yl)benzyl]amino}acetic acid;

10 oxo{[(1S)-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)-glucitol) salt;

[(3-chlorobenzyl)(4-dec-1-ynylbenzyl)amino](oxo)acetic acid;

[(3-chlorobenzyl)(4-dec-1-ynylbenzyl)amino](oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

15 [[2-(3-chlorophenyl)ethyl](4-oct-1-ynylbenzyl)amino](oxo)acetic acid;

[[2-(3-chlorophenyl)ethyl](4-oct-1-ynylbenzyl)amino](oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)phenyl]amino}(oxo)acetic acid;

((4-dec-1-ynylbenzyl){1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)acetic acid;

20 ((4-dec-1-ynylbenzyl){1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{ {1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{ {1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[2-(3-chlorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{[2-(3-chlorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)acetic acid;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)-acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{ {[4-(dodecyloxy)-1-naphthyl]methyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{ {[4-(dodecyloxy)-1-naphthyl]methyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt

[ (4-bromobenzyl)(4-oct-1-ynylbenzyl)amino](oxo)acetic acid;

[ {4-[(dodecylamino)carbonyl]benzyl}(2-hydroxy-1-phenylethyl)amino](oxo)acetic acid;

{(4-dec-1-ynylbenzyl){1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}amino}(oxo)-((4-dec-1-ynylbenzyl)

acetic acid;

((4-dec-1-ynylbenzyl){1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl}amino)(oxo)-

acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{4-[(9Z)-tetradec-9-enoylamino]benzyl}[4-(trifluoromethyl)benzyl]amino}-

5 acetic acid;

{(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

oxo{[4-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-

amino}acetic acid;

oxo{[4-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-

acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{(4-dodecylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dodecylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, N-methyl-D-

glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-{[(2-butyl-1-benzofuran-3-yl)methyl]amino}carbonyl]benzyl}[4-(trifluoro-

methyl)benzyl]amino}(oxo)acetic acid;

{(4-{[4-(benzyloxy)benzoyl]amino}benzyl)[4-(trifluoromethyl)benzyl]amino}-

15 (oxo)acetic acid;

{(3,5-dichlorobenzyl)[4-(tridecanoylamino)benzyl]amino}(oxo)acetic acid;

{(3,5-dichlorobenzyl)[4-(tridecanoylamino)benzyl]amino}(oxo)acetic acid, N-

20 methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{4-[(4-octylphenyl)ethynyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

oxo{[4-(trifluoromethyl)benzyl][4-(5-undecyl-1,2,4-oxadiazol-3-yl)benzyl]amino}-

acetic acid;

oxo{[4-(trifluoromethyl)benzyl][4-(5-undecyl-1,2,4-oxadiazol-3-yl)benzyl]amino}-

5 acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

{[4-[2-(4-octylphenyl)ethyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{(4-{[4-(heptyloxy)phenyl]ethynyl}benzyl)[4-(trifluoromethyl)benzyl]amino}-

(oxo)acetic acid;

10 {[4-[{(4-butylphenyl)ethynyl}benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-{[(4-hexylphenyl)ethynyl}benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

15 {[4-{[(4-hexylphenyl)ethynyl}benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo{[4-{[4-(pentyloxy)phenyl]ethynyl}benzyl}[4-(trifluoromethyl)benzyl]-amino}-

acetic acid;

oxo{[4-{[(4-propylphenyl)ethynyl}benzyl}[4-(trifluoromethyl)benzyl]amino}acetic

acid;

20 [[2-(3-chlorophenyl)ethyl](4-dodec-1-ynylbenzyl)amino](oxo)acetic acid;

[[2-(3-chlorophenyl)ethyl](4-dodec-1-ynylbenzyl)amino](oxo)acetic acid, N-methyl-

D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;  
{(4-oct-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;  
{[4-(11-hydroxyundec-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid;  
5 {[4-(11-methoxy-11-oxoundec-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}-  
(oxo)acetic acid;  
11-[4-({(carboxycarbonyl)[4-(trifluoromethyl)benzyl]amino}methyl)phenyl]undec-  
10-ynoic acid;  
16 {[4-[(4-(benzyloxy)phenyl)ethynyl]benzyl][4-(trifluoromethyl)benzyl]amino}-  
(oxo)acetic acid;  
17 {[4-{2-[4-(heptyloxy)phenyl]ethyl}benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)-  
acetic acid;  
18 {[4-[2-(4-butylphenyl)ethyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid;  
19 {[4-[2-(4-hexylphenyl)ethyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid;  
20 {[4-[2-(4-hexylphenyl)ethyl]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;  
oxo{(4-{2-[4-(pentyloxy)phenyl]ethyl}benzyl)[4-(trifluoromethyl)benzyl]-  
amino}acetic acid;  
oxo{[4-[2-(4-propylphenyl)ethyl]benzyl][4-(trifluoromethyl)benzyl]amino}acetic

acid;

11-[4-({(carboxycarbonyl)[4-(trifluoromethyl)benzyl]amino}methyl)phenyl]-

undecanoic acid;

{[4-(11-hydroxyundecyl)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[4-(trifluoromethyl)phenyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[4-(trifluoromethyl)phenyl]amino}(oxo)acetic acid, N-

methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

oxo([4-(trifluoromethyl)benzyl]{4-[2-(3-undecyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl}-

amino)acetic acid;

oxo([4-(trifluoromethyl)benzyl]{4-[2-(3-undecyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl}-

amino)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol)

salt;

{[4-[2-(3-octyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl][4-(trifluoromethyl)benzyl]-

amino}(oxo)acetic acid;

{[4-[2-(3-octyl-1,2,4-oxadiazol-5-yl)ethyl]benzyl][4-(trifluoromethyl)benzyl]-

amino}(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-

(methylamino)glucitol) salt;

{[4-[(4-octylbenzoyl)amino]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-[(4-octylbenzoyl)amino]benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;

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oxo{[(1-tridecanoylpiperidin-4-yl)methyl][4-(trifluoromethyl)benzyl]amino}acetic acid;

{[{1-(4-octylbenzoyl)piperidin-4-yl]methyl}[4-(trifluoromethyl)benzyl]-amino}-(  
oxo)acetic acid;

{[{1-(4-octylbenzoyl)piperidin-4-yl]methyl}[4-(trifluoromethyl)benzyl]amino}-  
(oxo)acetic acid, N-methyl-D-glucamine (i.e. 1-deoxy-1-(methylamino)glucitol) salt;  
{{[3-dec-1-ynyl-1-benzofuran-5-yl)methyl][4-(trifluoromethyl)benzyl]amino}-(  
oxo)acetic acid;

{[{3-dodec-1-ynyl-1-benzofuran-5-yl)methyl][4-(trifluoromethyl)benzyl]amino}-(  
oxo)acetic acid;

oxo{({3-[{(4-propylphenyl)ethynyl]-1-benzofuran-5-yl)methyl}[4-(trifluoromethyl)-  
benzyl]amino}acetic acid;

[{(4-dodec-1-ynylbenzyl)(4-fluorobenzyl)amino](oxo)acetic acid;

[bis(4-oct-1-ynylbenzyl)amino](oxo)acetic acid;

{[{6-dodec-1-ynylpyridin-3-yl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
acid;

{(3-dodec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[2-(2-fluorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(  
oxo)acetic acid;

{[2-(2-fluorophenyl)ethyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(  
oxo)acetic acid;

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{[2-(2-fluorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

{[2-(1,1'-biphenyl-4-yl)ethyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(1,1'-biphenyl-4-yl)ethyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

{[2-(1,1'-biphenyl-4-yl)ethyl][4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)acetic acid;

15 oxo{5,6,7,8-tetrahydronaphthalen-1-yl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-amino}acetic acid;

oxo{5,6,7,8-tetrahydronaphthalen-1-yl}[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-amino}acetic acid;

20 {[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl](5,6,7,8-tetrahydronaphthalen-1-yl)amino}-[(oxo)acetic acid;

{(1,1'-biphenyl-3-ylmethyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(

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(oxo)acetic acid;

{(1,1'-biphenyl-3-ylmethyl)[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-

(oxo)acetic acid;

{(1,1'-biphenyl-3-ylmethyl)[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)-

5 acetic acid;

{(1-benzothien-3-ylmethyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-(oxo)-

acetic acid;

{(1-benzothien-3-ylmethyl)[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)-

acetic acid;

10 {(1-benzothien-3-ylmethyl)[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)-

acetic acid;

oxo {[2-(trifluoromethyl)benzyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}-

acetic acid;

oxo {[2-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-

15 yl)benzyl]amino} acetic acid;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][2-(trifluoromethyl)benzyl]amino}(oxo)-

acetic acid;

oxo {[3-(trifluoromethyl)benzyl][4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-amino}-

acetic acid;

20 oxo {[3-(trifluoromethyl)benzyl][3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]-amino}-

acetic acid;

{[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl][3-(trifluoromethyl)benzyl]amino}-(oxo)-

acetic acid;

{(2-methoxybenzyl)[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic

acid {[2-methoxybenzyl)[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)-

acetic acid;

{(2-methoxybenzyl)[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic acid;

oxo {[4-[(trifluoromethyl)sulfonyl]benzyl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)-

benzyl]amino}acetic acid;

oxo {[4-[(trifluoromethyl)sulfonyl]benzyl}[3-(3-undecyl-1,2,4-oxadiazol-5-yl)-

benzyl]amino}acetic acid;

benzyl]amino}acetic acid;

amino)(oxo)acetic acid;

{1,3-benzodioxol-5-yl}[4-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic

acid;

{1,3-benzodioxol-5-yl}[3-(3-undecyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic

acid;

{1,3-benzodioxol-5-yl}[4-(3-octyl-1,2,4-oxadiazol-5-yl)benzyl]amino}(oxo)acetic

acid;

{[(4-dodec-1-ynyl-1-naphthyl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[(4-dec-1-ynyl-1-naphthyl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[(4-dec-1-ynyl-1-naphthyl)methyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

oxo{[4-(trifluoromethyl)benzyl][4-(4-undecyl-1,3-thiazol-2-yl)benzyl]amino} acetic

acid;

{(4-dec-1-ynylbenzyl)[2-(2-fluorophenyl)ethyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[2-(2-fluorophenyl)ethyl]amino}(oxo)acetic acid;

{[{4-(dodecyloxy)-1-naphthyl}methyl][2-(2-fluorophenyl)ethyl]amino}(oxo)acetic

acid;

{[2-(2-fluorophenyl)ethyl][4-(octyloxy)benzyl]amino}(oxo)acetic acid;

{(4-dec-1-ynylbenzyl)[2-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dodec-1-ynylbenzyl)[2-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{[{4-(dodecyloxy)-1-naphthyl}methyl][2-(trifluoromethyl)benzyl]amino}(oxo)acetic

acid;

{[4-(octyloxy)benzyl][2-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;

{(4-dec-1-ynylbenzyl)[2-(3,4-dichlorophenyl)ethyl]amino}(oxo)acetic acid;

[{[2-(3,4-dichlorophenyl)ethyl](4-dodec-1-ynylbenzyl)amino}(oxo)acetic acid;

({[2-(3,4-dichlorophenyl)ethyl}{[4-(dodecyloxy)-1-

naphthyl]methyl}amino)(oxo)acetic acid;

{[2-(3,4-dichlorophenyl)ethyl][4-(octyloxy)benzyl]amino}(oxo)acetic acid;

({4-[{(4-hexylphenyl)ethynyl]benzyl}{1-methyl-1-[4-

5

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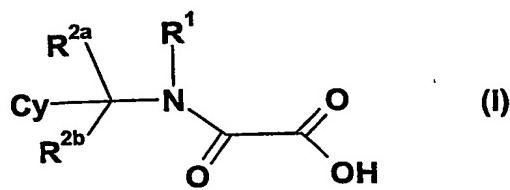
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(trifluoromethyl)phenyl]ethyl}amino}(oxo)acetic acid;  
 {[4-(5-cyclohexylpent-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
 acid;  
 {{3-[{(4-hexylphenyl)ethynyl]benzyl}[4-(trifluoromethyl)benzyl]amino}(oxo)acetic  
 acid;  
 {[4-(4-ethyl-3-hydroxyoct-1-ynyl)benzyl][4-(trifluoromethyl)benzyl]amino}-(oxo)-  
 acetic acid;  
 {(2-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid;  
 {(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, L-lysine  
 salt;  
 {(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid,  
 tromethamine (i.e. (2-amino-2-hydroxymethyl)-1,3-propanediol) salt;  
 {(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetic acid, L-Arginine  
 salt;  
 Sodium {(4-dec-1-ynylbenzyl)[4-(trifluoromethyl)benzyl]amino}(oxo)acetate.

15. 16. Substituted methylene amide derivative of Formula (I) :

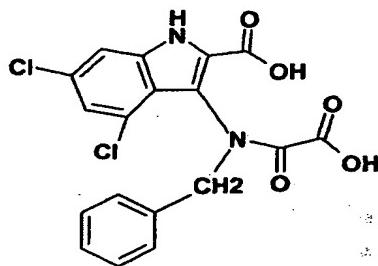
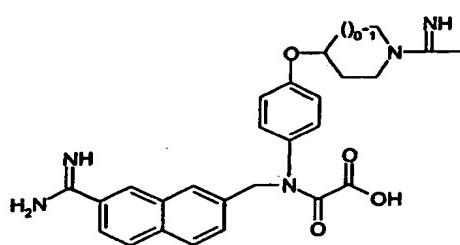


as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

**R<sup>1</sup>** is selected from the group consisting of (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>2</sub>-C<sub>12</sub>)alkenyl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, (C<sub>1</sub>-C<sub>12</sub>)alkyl-aryl or (C<sub>1</sub>-C<sub>12</sub>)alkyl-heteroaryl, (C<sub>2</sub>-C<sub>12</sub>)alkenyl-aryl or -heteroaryl, (C<sub>2</sub>-C<sub>12</sub>)alkynyl-aryl or -heteroaryl;

**R<sup>2a</sup>** and **R<sup>2b</sup>** are each independently from each other selected from the group comprising or consisting of H or (C<sub>1</sub>-C<sub>12</sub>)alkyl;

**Cy** is an aryl, heteroaryl, cycloalkyl or heterocycle, for use as a medicament, with the proviso that the following compounds are excluded :



**17. Substituted methylene amide derivative according to claim 16 wherein**

**R<sup>2a</sup>** and **R<sup>2b</sup>** are each H;

**15** **R<sup>1</sup>** is -CH<sub>2</sub>-A, with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy, -NO<sub>2</sub>, trifluoromethyl;

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Cy is a thienyl, phenyl or biphenyl being substituted by  $-\text{SO}_2\text{R}^3$ ,  $-\text{CO}-\text{NR}^3\text{R}^{3'}$  in which  $\text{R}^{3'}$  is H and  $\text{R}^3$  is ( $\text{C}_7\text{-C}_{15}$ )alkyl, particularly ( $\text{C}_8\text{-C}_{15}$ )alkyl and more particularly a dodecyl group.

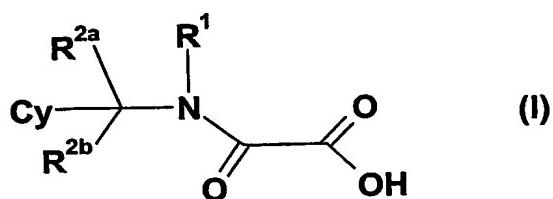
18. Substituted methylene amide derivative of Formula according to claim 16 wherein

5       $\text{R}^{2a}$  and  $\text{R}^{2b}$  are each H,

$\text{R}^1$  is selected from the group consisting of phenyl, benzyl, phenethyl, 1-methylbenzyl which may be substituted by ( $\text{C}_1\text{-C}_6$ )alkyl group or a cycloalkyl group;

10     Cy is a phenyl or a biphenyl group substituted with a moiety selected from the group consisting of  $-\text{NH}-\text{CO}-\text{R}^3$ ,  $-\text{CO}-\text{NH}-\text{R}^3$ , or an oxadiazole group substituted with  $\text{R}^3$ , wherein  $\text{R}^3$  is ( $\text{C}_7\text{-C}_{15}$ )alkyl, particularly ( $\text{C}_8\text{-C}_{15}$ )alkyl and more particularly a dodecyl group.

15. Use of a substituted methylene amide derivative according to formula (I):



as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

15      $\text{R}^1$  is selected from the group consisting of H, ( $\text{C}_1\text{-C}_{12}$ )alkyl, ( $\text{C}_2\text{-C}_{12}$ )alkenyl, ( $\text{C}_2\text{-C}_{12}$ )alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, ( $\text{C}_1\text{-C}_{12}$ )alkyl-aryl or ( $\text{C}_1\text{-C}_{12}$ )alkyl-heteroaryl, ( $\text{C}_2\text{-C}_{12}$ )alkenyl-aryl or -heteroaryl, ( $\text{C}_2\text{-C}_{12}$ )alkynyl-aryl or -heteroaryl;

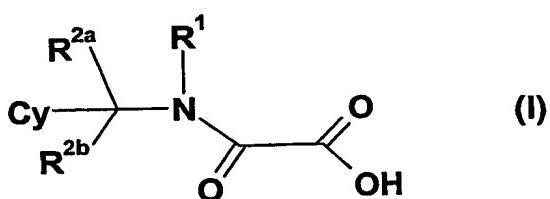
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$R^{2a}$  and  $R^{2b}$  are each independently from each other selected from the group comprising or consisting of H or ( $C_1-C_{12}$ )alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle,

for the preparation of a medicament for the treatment and/or prevention of metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type I and/or II, inadequate glucose tolerance, insulin resistance, hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, obesity, polycystic ovary syndrome (PCOS).

20. Use of a substituted methylene amide derivative according to formula (I):



as well as its geometrical isomers, its optically active forms as enantiomers, diastereomers and its racemate forms, as well as pharmaceutically acceptable salts and pharmaceutically active derivatives thereof, wherein

$R^1$  is selected from the group consisting of H, ( $C_1-C_{12}$ )alkyl, ( $C_2-C_{12}$ )alkenyl, ( $C_2-C_{12}$ )alkynyl, aryl, heteroaryl, (3-8-membered)cycloalkyl or heterocycloalkyl, ( $C_1-C_{12}$ )alkyl-aryl or ( $C_1-C_{12}$ )alkyl-heteroaryl, ( $C_2-C_{12}$ )alkenyl-aryl or -heteroaryl, ( $C_2-C_{12}$ )alkynyl-aryl or -heteroaryl;

$R^{2a}$  and  $R^{2b}$  are each independently from each other selected from the group comprising or consisting of H or ( $C_1-C_{12}$ )alkyl;

Cy is an aryl, heteroaryl, cycloalkyl or heterocycle,

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for the preparation of a medicament for the treatment and/or prevention of diabetes type II, obesity or for appetite regulation.

21. Use of substituted methylene amide derivative according to claim 19 or 20 wherein

$R^{2a}$  and  $R^{2b}$  are each H;

5        $R^1$  is  $-CH_2-A$ , with A being phenyl or thienyl, optionally substituted by cyano, halogen, methoxy, hydroxy, phenoxy,  $-NO_2$ , trifluoromethyl;

Cy is a thienyl, phenyl or biphenyl being substituted by  $-SO_2R^3$ ,  $-CO-NR^3R^3'$  in which  $R^3$  is H and  $R^3'$  is  $(C_7-C_{15})$ alkyl, particularly  $(C_8-C_{15})$ alkyl and more particularly a dodecyl group.

- 10      22. Use of substituted methylene amide derivative according to any of claims 19 to 21 wherein

$R^{2a}$  and  $R^{2b}$  are each H;

15       $R^1$  is selected from the group consisting of phenyl, benzyl, phenethyl, 1-methylbenzyl which may be substituted by  $(C_1-C_6)$ alkyl group or a cycloalkyl group;

Cy is a phenyl or a biphenyl group substituted with a moiety selected from the group consisting of  $-NH-CO-R^3$ ,  $-CO-NH-R^3$ , or an oxadiazole group substituted with  $R^3$ , where  $R^3$  is  $(C_7-C_{15})$ alkyl, particularly  $(C_8-C_{15})$ alkyl and more particularly a dodecyl group.

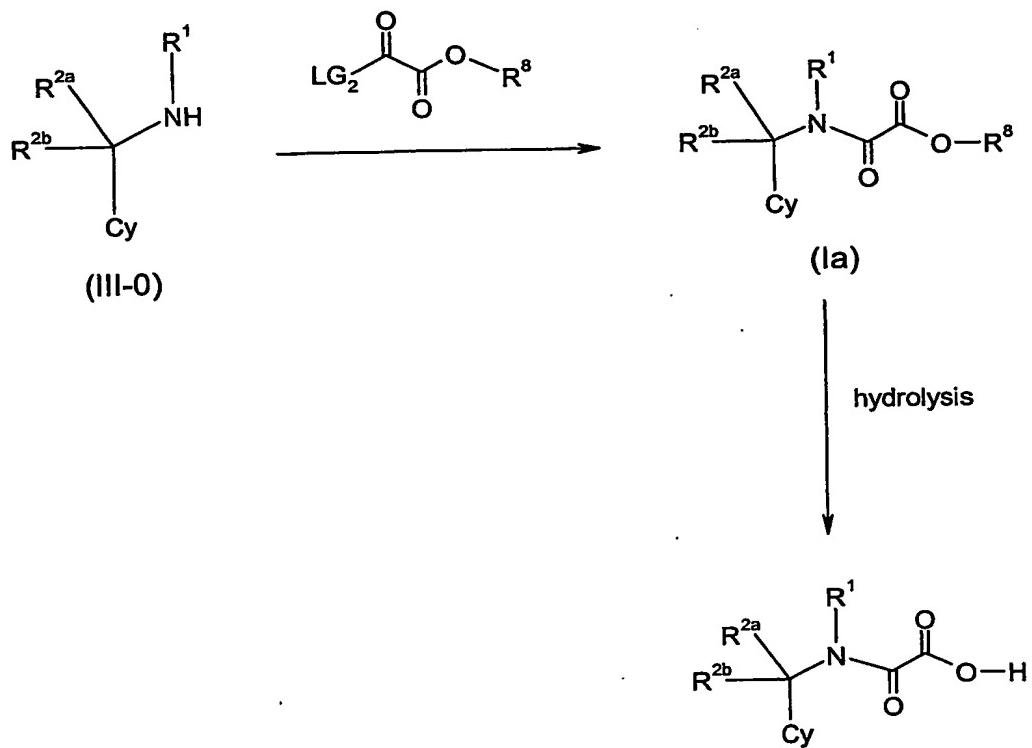
- 20      23. Use of a substituted methylene amide derivative according to any of claims 19 to 22 for the preparation of a pharmaceutical composition for the modulation of the activity of PTPs.

24. Use according to claim 23 wherein the PTP is PTP1B.

25. Use according to claim 23 wherein said modulation consists in the inhibition of PTP1B.
26. Use according to claim 25 for the treatment or prevention of disorders mediated by PTP1B.
- 5 27. A pharmaceutical composition containing at least one substituted methylene amide derivative according to any of claims 1 to 15 and a pharmaceutically acceptable carrier, diluent or excipient thereof.
- 10 28. A pharmaceutical composition according to claim 27 further comprising at least one supplementary drug selected from the group consisting of insulin, aldose reductase inhibitors, alpha-glucosidase inhibitors, sulfonyl urea agents, biguanides (e.g. metformin), thiazolidines, PPARs agonists, c-Jun Kinase or GSK-3 inhibitors.
- 15 29. A pharmaceutical composition according to claim 28 wherein said supplementary drug is selected from the group consisting of a rapid acting insulin, an intermediate acting insulin, a long acting insulin, a combination of intermediate and rapid acting insulins, Minalrestat, Tolrestat, Sorbinil, Methosorbinil, Zopolrestat, Epalrestat, Zenarestat, Imirestat, Ponalrestat, ONO-2235, GP-1447, CT-112, BAL-ARI 8, AD-5467, ZD5522, M-16209, NZ-314, M-79175, SPR-210, ADN 138, or SNK-860, Miglitol, Acarbose, Glipizide, Glyburide, Chlorpropamide, Tolbutamide, Tolazamide, or Glimepiride.

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30. A method of preparing a substituted methylene amide derivative according to any of claims 1 to 15, comprising the coupling step between amine derivative of formula (III-

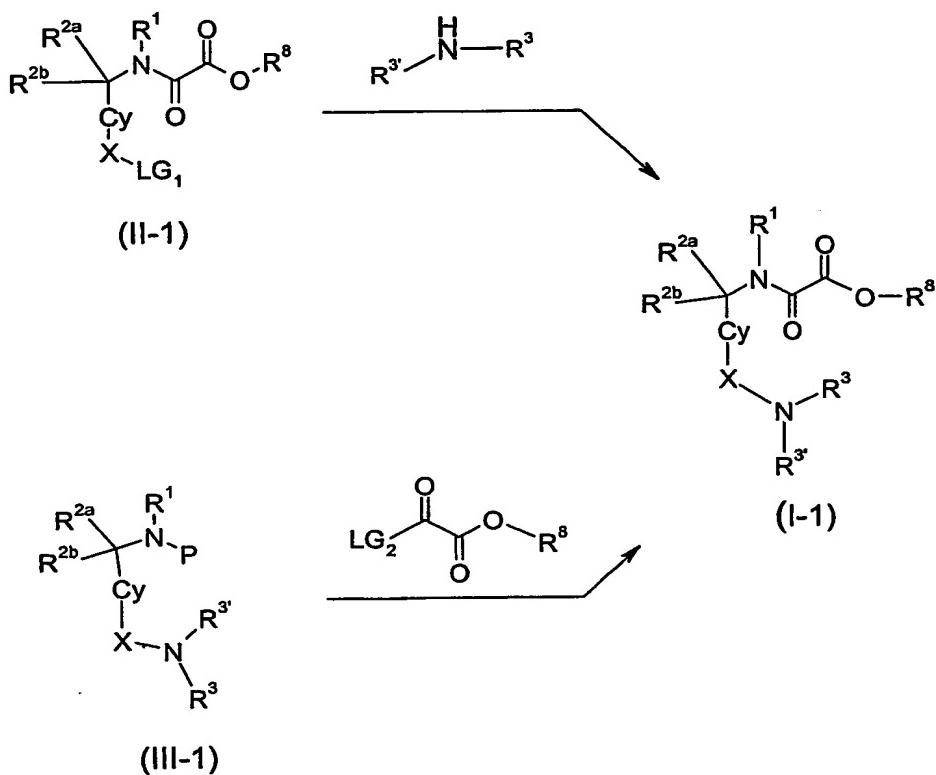


0) and an ester of formula  $LG_2\text{-CO-CO-OR}^8$ , followed by a hydrolysis:

wherein Cy, R<sup>1</sup>, R<sup>2a</sup>, R<sup>2b</sup> are as above-defined, R<sup>8</sup> is a (C<sub>1</sub>-C<sub>6</sub>)alkyl or cycloalkyl and  
 5 LG<sub>2</sub> is a leaving group selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl.

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31. A method of preparation of a substituted methylene amide derivative according to any of claims 1 to 5 and 9 to 15, comprising the step of providing the corresponding ester of formula (I-1):



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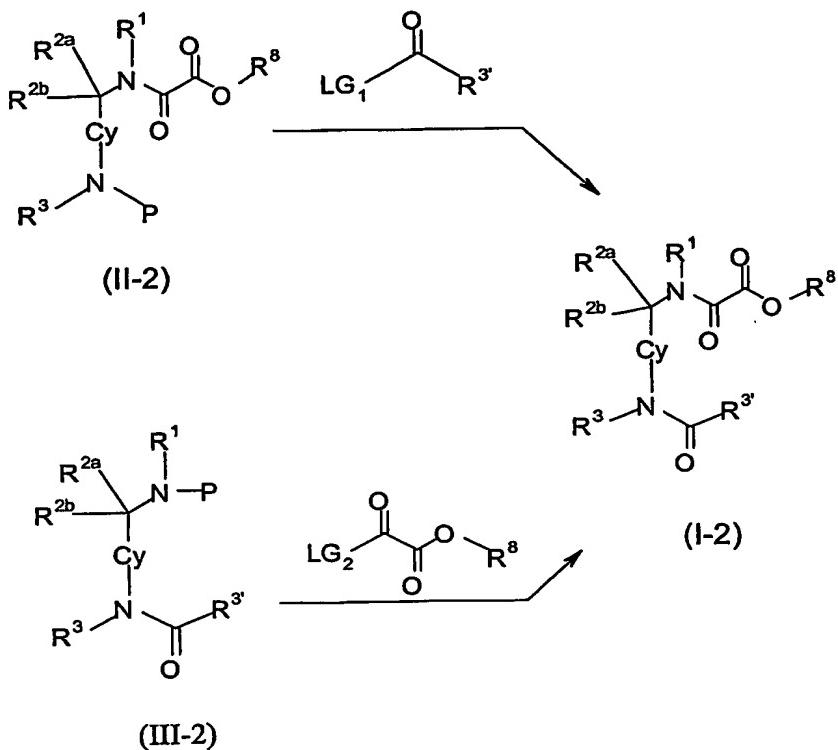
wherein X is  $-\text{CO}-$  or  $-\text{SO}_2-$ ,  $\text{LG}_1$  is Cl, OH, -Obn, O-Alkyl or O-Alkylaryl and  $\text{LG}_2$  is selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl,  $\text{R}^8$  is a ( $\text{C}_1\text{-C}_6$ )alkyl or cycloalkyl, P is H or a protective group selected from Boc or Fmoc,  $\text{R}^1$ ,  $\text{R}^{2a}$ ,  $\text{R}^{2b}$ ,  $\text{R}^3$  and  $\text{R}^{3'}$  are as above defined;

10

and a subsequent hydrolysis step thus yielding the methylene amide derivative of formula (I).

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32. A method of preparing a substituted methylene amide derivative of formula (I) according to any of claims 1 to 5, 9 to 11, 14 and 15 comprising the step of providing the corresponding ester of formula (I-2):

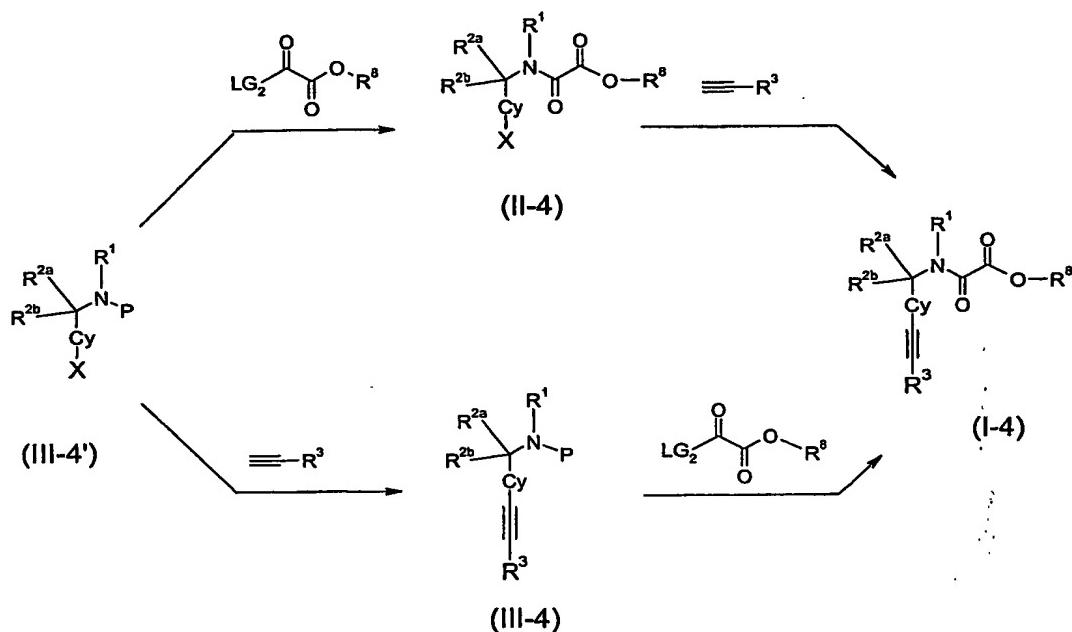


5       wherein LG<sub>1</sub> is Cl, OH, O-Bn, O-Alkyl or O-Alkylaryl and LG<sub>2</sub> is selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl, R<sup>8</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl or cycloalkyl, P is H or a protective group selected from Boc or Fmoc, R<sup>1</sup>, R<sup>2a</sup>, R<sup>2b</sup>, R<sup>3</sup> and R<sup>3'</sup> are as above defined;

10      and a subsequent hydrolysis step, thus yielding the methylene amide derivative of formula (I).

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33. A method of preparing a substituted methylene amide derivative according to any of claims 1 to 11 and 15 , comprising the step of providing the corresponding ester of formula (I-4):



5       wherein X is halogen atom selected from the group consisting of Br, I Cl or a leaving group such as  $-\text{OSO}_2\text{CF}_3$ ,  $R^8$  is an alkyl group,  $LG_2$  is selected from Cl, N-hydroxy succinimide or benzotriazol-1-yl, P is H or a protective group selected from Boc or Fmoc,  $R^1$ ,  $R^{2a}$ ,  $R^{2b}$  and  $R^3$  are as above defined;

10      and a subsequent hydrolysis step, thus yielding the methylene amide derivative of formula (I).